

=> fil medl biosis embase capl wpids  
FILE 'MEDLINE' ENTERED AT 16:07:43 ON 09 DEC 2004

FILE 'BIOSIS' ENTERED AT 16:07:43 ON 09 DEC 2004  
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FILE 'CAPLUS' ENTERED AT 16:07:43 ON 09 DEC 2004  
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FILE 'WPIDS' ENTERED AT 16:07:43 ON 09 DEC 2004  
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=> d que 14  
L1 238 SEA KRAUSS N?/AU  
L2 104 SEA MIRZADEGAN T?/AU  
L3 48397 SEA SMITH D?/AU  
L4 5 SEA L1 AND L2 AND L3\*

*inventor  
Search*

=>aduprem14\*  
PROCESSING COMPLETED FOR L4  
L12 3 DUP REM L4 (2 DUPLICATES REMOVED)\*  
ANSWERS '1-3' FROM FILE CAPLUS

=>d ibib ed ab 1-3 x l12

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2003:454289 CAPLUS  
DOCUMENT NUMBER: 139:36449  
TITLE: Substituted 2-aminocycloalkanecarboxamides for use as  
cysteine protease inhibitors  
INVENTOR(S): Gabriel, Thomas; Krauss, Nancy Elisabeth;  
Mirzadegan, Taraneh; Palmer, Wylie Solang;  
Smith, David Bernard  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.  
SOURCE: PCT Int. Appl., 84 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048123	A1	20030612	WO 2002-EP13221	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1453801	A1	20040908	EP 2002-787799	20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
PRIORITY APPLN. INFO.: US 2001-336750P P 20011204  
WO 2002-EP13221 W 20021125

OTHER SOURCE(S) : MARPAT 139:36449

ED      Entered STN: 13 Jun 2003

AB Title compds. I [R1 = heteroaryl, (CR7R8)mCOR9, S(O)pR9; R2-R4, R6-R8 = H, alkyl; R5 = H, alkyl, heterocyclic, cycloalkyl, cycloalkylalkyl, alkoxy carbonylalkyl; aryl, aralkyl, heteroaryl, heteroarylalkyl; R9 = heteroaryl, heteroarylalkyl, heteroarylalkoxy; m = 0, 1; n = 1-3; p = 1, 2] were prepared for use as cysteine protease inhibitors. The compds. are useful for the treatment of diseases which are associated with cysteine proteases such as osteoporosis, osteoarthritis, rheumatoid arthritis, tumor metastasis, glomerulonephritis, atherosclerosis, myocardial infarction, angina pectoris, instable angina pectoris, stroke, plaque rupture, transient ischemic attacks, amaurosis fugax, peripheral arterial occlusive disease, restenosis after angioplasty and stint placement, abdominal aortic aneurysm formation, inflammation, autoimmune disease, malaria, ocular fundus tissue cytopathy and respiratory disease. Thus, Et (1R,2S)-2-aminocyclohexanecarboxylate-HBr was treated with indole-2-carboxylic acid, followed by ester hydrolysis and amidation with (R,S)-amino(cyclopropyl)acetonitrile to give the amide II which had IC50 for inhibition of cathepsin K of 0.018 mM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2001:816621 CAPLUS

ACCESSION NUMBER: 5001.35102  
DOCUMENT NUMBER: 135:357764

DOCUMENT NUMBER: 153-337784  
TITLE: Preparation of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors

INVENTOR(S) : Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Smith, David Bernard; Walker, Keith Adrian Murray

PATENT ASSIGNEE(S) : REICH Adriaan Muller  
E. Hoffmann-La Roche A.-G. Switz

PATENT ASSIGNEE(S): F. HOFFMANN-La ROCHE A  
SOURCE: PCT Int Appl 83 pp

DOCUMENT TYPE: **Patent**

DOCUMENT TYPE: Patent  
LANGUAGE: English

LANGUAGE: E  
FAMILY ACC NIM COUNT: 1

FAMILY ACC. NUM. CO  
PATENT INFORMATION -

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083434	A2	20011108	WO 2001-EP4589	20010424
WO 2001083434	A3	20020328		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405832	AA	20011108	CA 2001-2405832	20010424
EP 1278723	A2	20030129	EP 2001-943280	20010424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010358	A	20030305	BR 2001-10358	20010424
JP 2003531886	T2	20031028	JP 2001-580863	20010424
US 2002052349	A1	20020502	US 2001-844061	20010426
ZA 2002008136	A	20040122	ZA 2002-8136	20021009

PRIORITY APPLN. INFO.: US 2000-200310P P 20000428  
 WO 2001-EP4589 W 20010424

OTHER SOURCE(S): MARPAT 135:357764

ED Entered STN: 09 Nov 2001

AB The title compds. [I; A = (CR<sub>2</sub>)<sub>n</sub>; n = 1-3; R = H, alkyl; B = (hetero)aryl, X, Y = CH, N; R<sub>1</sub> = alkyl, alkenyl, aryl, etc.; R<sub>2</sub> = alkyl, cycloalkyl, aryl, etc.; R<sub>3</sub> = H, alkyl, halo, etc.] which have prostaglandin G/H synthase inhibitor activity and are suitable for the treatment of inflammatory diseases, such as myositis, synovitis, rheumatoid arthritis, osteoarthritis, gout, ankylosing spondylitis and bursitis, for the treatment of Alzheimer's disease or of an autoimmune disease such as systemic lupus erythematosus and type I diabetes, were prepared and formulated. E.g., a multi-step synthesis of I [A = CH<sub>2</sub>; B = 4-MeC<sub>6</sub>H<sub>4</sub>; X, Y = CH; R<sub>1</sub> = (CH<sub>2</sub>)<sub>2</sub>SO<sub>2</sub>Me; R<sub>2</sub> = NH<sub>2</sub>; R<sub>3</sub> = H] which showed IC<sub>50</sub> of < 5.0  $\mu$ M against COX-2, was given.

L12 ANSWER 3 OF 3. CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:331784 CAPLUS

DOCUMENT NUMBER: 140:339193

TITLE: Preparation of indole nitriles as cysteine protease, in particular Cathepsin K inhibitors

INVENTOR(S): Bamberg, Joe Timothy; Gabriel, Tobias; Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Palmer, Wylie Solang; Smith, David Bernard

PATENT ASSIGNEE(S): Roche Palo Alto, LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 141 pp., Cont.-in-part of U.S. Ser. No. 308,963.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077646	A1	20040422	US 2003-453112	20030602
US 6759428	B2	20040706		
US 2003212097	A1	20031113	US 2002-308963	20021203
US 6747053	B2	20040608		
PRIORITY APPLN. INFO.:			US 2001-336750P	P 20011204
			US 2002-308963	A2 20021203

OTHER SOURCE(S): MARPAT 140:339193

ED Entered STN: 23 Apr 2004

AB Title compds. I [wherein n = 0-2; R<sub>1</sub> = (un)substituted indolyl, indazolyl, benzothiazolyl, indolizinyl, tetrahydropyridoindolyl, benzopyrrolothiazolyl; X = [CH(R<sub>5</sub>R<sub>6</sub>)]<sub>q</sub>; q = 1-2; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> = independently H, alkyl; R<sub>6</sub> = H, cyclo/alkyl, (CR<sub>a</sub>R<sub>b</sub>)<sub>o</sub>A; R<sub>a</sub>, R<sub>b</sub> = independently H, alkyl; o = 0-4; A = OH and derivs., (un)substituted Ph, pyridyl, imidazolyl, morpholinyl, CO<sub>2</sub>H and derivs., etc.; Y = (CH<sub>2</sub>)<sub>m</sub>; m = 1-3; their pharmaceutically acceptable salts, solvates and prodrugs] were prepared as cysteine protease, in particular Cathepsin K inhibitors. The compds. are useful for the treatment of diseases which are associated with cysteine proteases such as osteoporosis, tumor metastasis, unstable angina pectoris and/or plaque rupture. Thus, Et (1R,2S)-2-aminocyclohexanecarboxylate-HBr was treated with indole-2-carboxylic acid, followed by ester hydrolysis and amidation with (R,S)-amino(cyclopropyl)acetonitrile to give the amide II. I selectively inhibited Cathepsin K (no data).

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

intentionally  
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=> => fil reg; d stat que 155; fil capl; d que nos 156; fil uspatf; d que nos 157; dup rem 156,157

FILE=REGISTRY ENTERED AT 16:58:51 ON 09 DEC 2004  
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STRUCTURE FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4  
DICTIONARY FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4

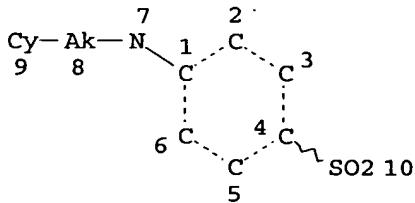
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L20 STR



full file search done  
on this structure

NODE ATTRIBUTES:

CONNECT IS E3 RC AT 7  
CONNECT IS E2 RC AT 8  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L30 513 SEA FILE=REGISTRY,SSS:FUL L20\*  
L40 STR

N—Cb~^SO2  
1 2 3

NODE ATTRIBUTES:

CONNECT IS E3 RC AT 1  
CONNECT IS E2 RC AT 2  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY LOC UNS AT 2  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

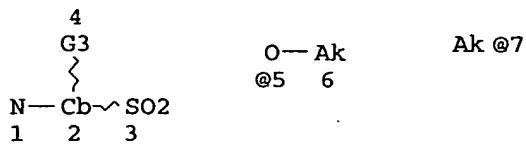
too many answers, had to define  
R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>

subset search done looking  
for L40 or L41 (defining R<sub>3</sub>)  
and

L43 (defining R<sub>1</sub> & R<sub>2</sub>)

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE  
.L41 STR



VAR G3=7/X/NO2/CN/OH/5

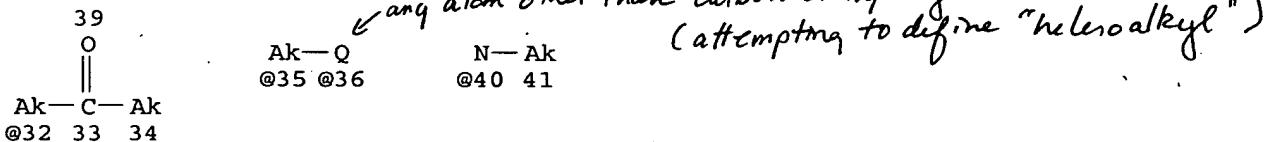
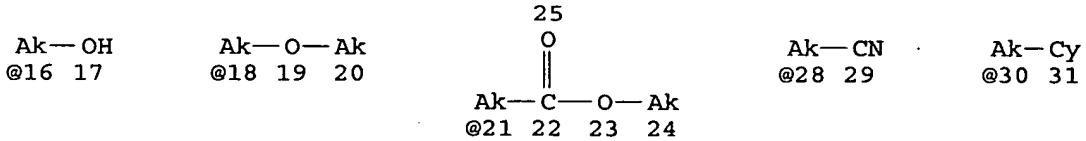
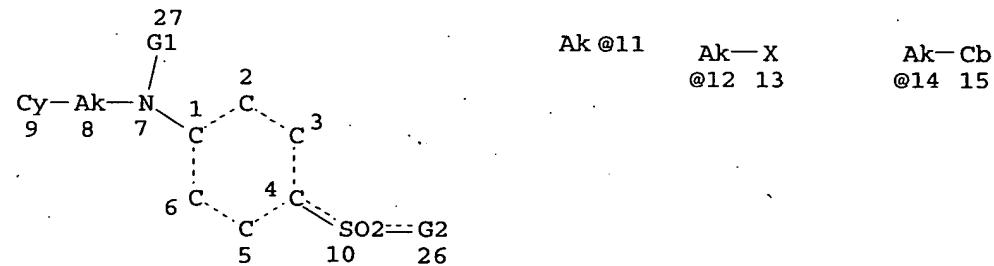
NODE ATTRIBUTES:

CONNECT IS E3 RC AT 1  
CONNECT IS E3 RC AT 2  
CONNECT IS E1 RC AT 6  
CONNECT IS E1 RC AT 7  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY LOC UNS AT 2  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE  
.L43 STR



VAR G1=11/28/CY/30/32/35/36  
VAR G2=11/12/CB/14/16/18/21/NH/40

NODE ATTRIBUTES:

CONNECT IS E3 RC AT 7  
CONNECT IS E2 RC AT 8  
CONNECT IS E1 RC AT 11  
CONNECT IS E2 RC AT 18  
CONNECT IS E1 RC AT 20  
CONNECT IS E2 RC AT 21  
CONNECT IS E1 RC AT 24

CONNECT IS E2 RC AT 30  
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 CONNECT IS E1 RC AT 34  
 CONNECT IS E1 RC AT 41  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

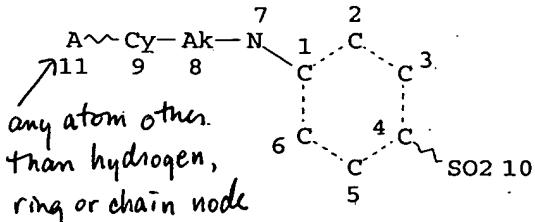
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RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 39

## STEREO ATTRIBUTES: NONE

L45 4.2.08 SEA FILE=REGISTRY SUB=L30 SSS FUL (L43 AND (L40 OR L41))  
 L49 198 SEA FILE=REGISTRY ABB=ON L45 NOT PMS/CI

L53 STR



forced "B" to be unsaturated, since  
 they were it was defined as "substituted  
 "aromatic or heteroaromatic"  
 rather than carbocycle or heterocycle; &  
 to be substituted.

## NODE ATTRIBUTES:

NSPEC IS RC AT 11  
 CONNECT IS E3 RC AT 7  
 CONNECT IS E2 RC AT 8  
 DEFAULT MLEVEL IS ATOM  
 GGCAT IS UNS AT 9  
 DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 11

## STEREO ATTRIBUTES: NONE

L55 161 SEA FILE=REGISTRY SUB=L49 SSS FUL L53

100.0% PROCESSED 198 ITERATIONS  
 SEARCH TIME: 00.00.01

161 ANSWERS

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FILE COVERS 1907 - 9 Dec 2004 VOL 141 ISS 24

FILE LAST UPDATED: 8 Dec 2004 (20041208/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L20      STR
L30      513 SEA FILE=REGISTRY SSS FUL L20
L40      STR
L41      STR
L43      STR
L45      208 SEA FILE=REGISTRY SUB=L30 SSS FUL (L43 AND (L40 OR L41))
L49      198 SEA FILE=REGISTRY ABB=ON L45 NOT PMS/CI
L53      STR
L55      161 SEA FILE=REGISTRY SUB=L49 SSS FUL L53
L56      34 SEA FILE=CAPLUS ABB=ON L55

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FILE USPATFULL ENTERED AT 16:58:51 ON 09 DEC 2004  
 CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 7 Dec 2004 (20041207/PD)  
 FILE LAST UPDATED: 7 Dec 2004 (20041207/ED)  
 HIGHEST GRANTED PATENT NUMBER: US6829783  
 HIGHEST APPLICATION PUBLICATION NUMBER: US2004244085  
 CA INDEXING IS CURRENT THROUGH 7 Dec 2004 (20041207/UPCA)  
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 7 Dec 2004 (20041207/PD)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2004  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2004

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>>> USPAT2 is now available. USPATFULL contains full text of the      <<<
>>> original, i.e., the earliest published granted patents or      <<<
>>> applications. USPAT2 contains full text of the latest US      <<<
>>> publications, starting in 2001, for the inventions covered in      <<<
>>> USPATFULL. A USPATFULL record contains not only the original      <<<
>>> published document but also a list of any subsequent      <<<
>>> publications. The publication number, patent kind code, and      <<<
>>> publication date for all the US publications for an invention      <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL      <<<
>>> records and may be searched in standard search fields, e.g., /PN,      <<<
>>> /PK, etc.      <<<

>>> USPATFULL and USPAT2 can be accessed and searched together      <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to      <<<
>>> enter this cluster.      <<<

>>> Use USPATALL when searching terms such as patent assignees,      <<<
>>> classifications, or claims, that may potentially change from      <<<
>>> the earliest to the latest publication.      <<<

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L20      STR
L30      513 SEA FILE=REGISTRY SSS FUL L20
L40      STR
L41      STR
L43      STR

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L45 208 SEA FILE=REGISTRY SUB=L30 SSS FUL (L43 AND (L40 OR L41))  
 L49 198 SEA FILE=REGISTRY ABB=ON L45 NOT PMS/CI  
 L53 STR  
 L55 161 SEA FILE=REGISTRY SUB=L49 SSS FUL L53  
 L57 19 SEA FILE=USPATFULL ABB=ON L55

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FILE 'USPATFULL' ENTERED AT 16:58:51 ON 09 DEC 2004  
 CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)  
 PROCESSING COMPLETED FOR L56  
 PROCESSING COMPLETED FOR L57  
 L58 ANSWERS '1-40' FROM FILE CAPLUS (3 DUPLICATES REMOVED)  
 ANSWERS '1-34' FROM FILE CAPLUS  
 ANSWERS '35-40' FROM FILE USPATFULL

45> d:ibib:ed.abs:hitstr l58:1-40; fil cao; s 155

L58 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1  
 ACCESSION NUMBER: 2004:41159 CAPLUS  
 DOCUMENT NUMBER: 140:93930  
 TITLE: Preparation of cyclic amines as cell adhesion and cell infiltration inhibitors  
 INVENTOR(S): Kodama, Tatsuhiko; Tamura, Masahiro; Oda, Toshiaki; Yamazaki, Yukiyoshi; Nishikawa, Masahiro; Takemura, Shunji; Doi, Takeshi; Kyotani, Yoshinori; Ohkuchi, Masao  
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan  
 SOURCE: U.S. Pat. Appl. Publ., 148 pp., Cont.-in-part of U.S. Ser. No. 107,108.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004010147	A1	20040115	US 2002-191534	20020710
US 6395753	B1	20020528	US 2001-941684	20010830
US 6498169	B1	20021224	US 2001-983928	20011026
WO 2003020703	A1	20030313	WO 2002-JP8650	20020828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1422219	A1	20040526	EP 2002-762881	20020828
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PRIORITY APPLN. INFO.:			US 2001-941684	A2 20010830

US 2001-983928	A2 20011026
US 2002-107108	A2 20020328
US 2002-107180	A 20020328
US 2002-191534	A 20020710
WO 2002-JP8650	W 20020828

OTHER SOURCE(S): MARPAT 140:93930  
 ED Entered STN: 18 Jan 2004  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [R1-R3 = H, halo, alkoxy, etc.; W1, W2 = N, CH; X = O, NR4, CONR4, NR4CO; R4 = H, alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, etc.; and l, m, and n each = 0-1] were prepared. For example, (3S)-II.4HCl was prepared in 68% yield by base-catalyzed condensation of (3S)-3-methylamino-1-[[2-(3,4,5-trimethoxyphenyl)pyridin-4-yl]methyl]pyrrolidine with 4-chloromethyl-2-(3,4,5-trimethoxyphenyl)pyridine and acidulation with HCl. Selective invention compds. showed IC50 values of 0.04  $\mu$ M to 0.3  $\mu$ M for inhibition of cell adhesion. I and their pharmaceutical compns. (2 examples given) are useful as antiallergic, antirheumatic, antiasthmatic agents, etc.

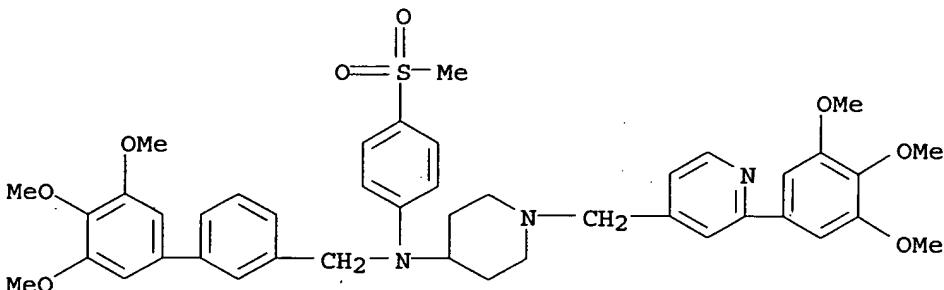
IT 501673-35-4P 501673-36-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic amines as cell adhesion and infiltration inhibitors)

RN 501673-35-4 CAPLUS

CN 4-Piperidinamine, N-[4-(methylsulfonyl)phenyl]-N-[(3',4',5'-trimethoxy[1,1'-biphenyl]-3-yl)methyl]-1-[[2-(3,4,5-trimethoxyphenyl)-4-pyridinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 501673-36-5 CAPLUS

CN 4-Piperidinamine, N-[4-(methylsulfonyl)phenyl]-N-[(3',4',5'-trimethoxy[1,1'-biphenyl]-3-yl)methyl]-1-[[2-(3,4,5-trimethoxyphenyl)-4-pyridinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)